Barb Only

NOTE Perform this search along w/ 09/583,2.

Access DB# _____

SEARCH REQUEST FORM

Scientific and Technical Information Center

C4579

Requester's Full Name: Dwayne C. Jones Examiner #: 71299 Date: 16 APR 02
Art Unit: 1614 Phone Number 30[illegible]-1634 Serial Number: 09/580,287
Mail Box and Bldg/Room Location: 2007, CM1 Results Format Preferred (circle): PAPER DISK E-MAIL
2021, CM1

If more than one search is submitted, please prioritize searches in order of need.

****

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: See attached sheet

Inventors (please provide full names): "

Earliest Priority Filing Date: "

**For Sequence Searches Only* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.*

Please search the compound of claim 1 and the elected species of butyl-[2,5-dimethyl-7-(2,4,6-trimethylphenyl)-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-]-ethylamine

=> these compounds are corticotropin releasing factor antagonists (CRF)

=> treats central nervous system disorders.

Point of Contact:
Barb O'Bryen
Technical Information Specialist
STIC CM1 6A05 308-4291

****

STAFF USE ONLY

Searcher: BOB
Searcher Phone #: _____
Searcher Location: _____
Date Searcher Picked Up: _____
Date Completed: 4-25-02
Searcher Prep & Review Time: 50
Clerical Prep Time: _____
Online Time: 35

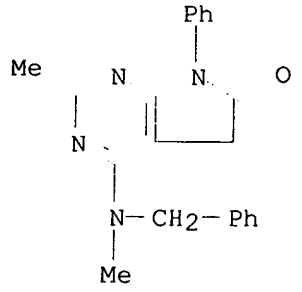
Type of Search

NA Sequence (#) _____
AA Sequence (#) _____
Structure (#) 3
Bibliographic _____
Litigation _____
Fulltext _____
Patent Family _____
Other _____

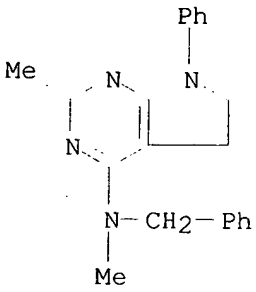
Vendors and cost where applicable

STN 322
Dialog _____
Questel/Orbit _____
Dr.Link _____
Lexis/Nexis _____
Sequence Systems _____
WWW/Internet _____
Other (specify) _____

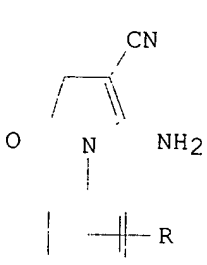
PTO-1590 (8-01)



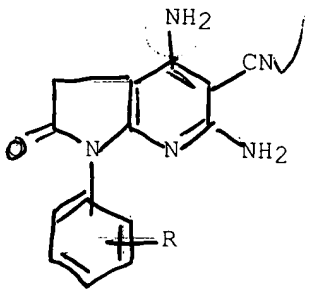
RN 142228-55-5 CAPLUS
CN 5H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6,7-dihydro-N,2-dimethyl-7-phenyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



L32 ANSWER 4 OF 20 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1990:35716 CAPLUS
DOCUMENT NUMBER: 112:35716
TITLE: Synthesis and reactions of 2-amino-1-aryl-5-oxo-.DELTA.2-pyrroline-3-carbonitriles
AUTHOR(S): Schaefer, Harry; Gewald, Karl
CORPORATE SOURCE: Sekt. Chem., Tech. Univ. Dresden, Dresden, DDR-8027, Ger. Dem. Rep.
SOURCE: Monatsh. Chem. (1989), 120(4), 315-22
CODEN: MOCMB7; ISSN: 0026-9247
DOCUMENT TYPE: Journal
LANGUAGE: German
OTHER SOURCE(S): CASREACT 112:35716
GI



II



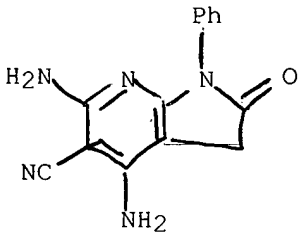
III

AB Cyclocondensation reaction of CH2(CN)2 with RC6H4NHCOCH2Cl (I; R = H, 4-Me, 4-MeO, 4-Cl) in EtOH contg. K2CO3 yields title compds. II (same R), whereas 4,6-diamino-1-aryl-2-oxo-2,3-dihydropyrrolo[2,3-b]pyridin-5-

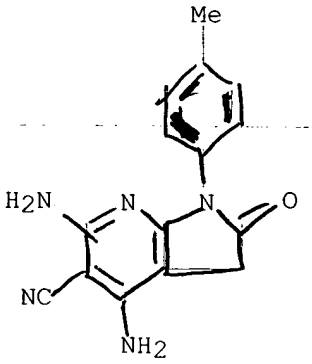
carbonitriles III are formed in the presence of Et3N. 5-Amino-1-oxo-1,2-dihydropyrrolo[1,2-a]quinazolin-3-carbonitrile arises from I (R = 2-cyano) and CH2(CN)2. 7,8-Dihydrothieno[3,2-e]pyrrolo[1,2-a]pyrimidine derivs. are obtained analogously from 2-(chloroacetamido)thiophene-3-carbonitriles. Hydrolysis of II (R = H) with hydroxide or acid yields PhNHCOCH(CO2H)CH2CO2H and PhNHC(:NH)CH(CONH2)CH2CO2H, resp. 4-O2NC6H4N2+ Cl- reacts with II (R = H) to form the triazene only.

IT **124476-81-9P 124476-82-0P 124476-83-1P**
124476-84-2P 124476-85-3P 124476-86-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

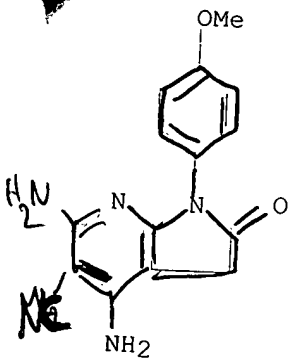
RN 124476-81-9 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-5-carbonitrile, 4,6-diamino-2,3-dihydro-2-oxo-1-phenyl- (9CI) (CA INDEX NAME)



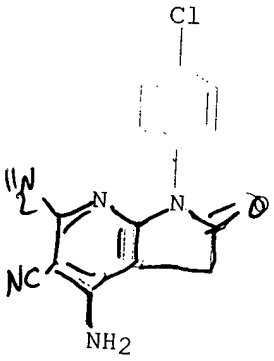
RN 124476-82-0 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-5-carbonitrile, 4,6-diamino-2,3-dihydro-1-(4-methylphenyl)-2-oxo- (9CI) (CA INDEX NAME)



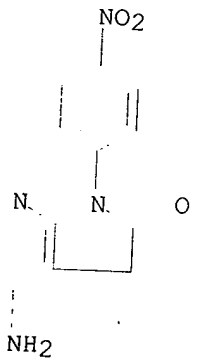
RN 124476-83-1 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-5-carbonitrile, 4,6-diamino-2,3-dihydro-1-(4-methoxyphenyl)-2-oxo- (9CI) (CA INDEX NAME)



124476-84-2 CAPLUS
1H-Pyrrolo[2,3-b]pyridine-5-carbonitrile, 4,6-diamino-1-(4-chlorophenyl)-2,3-dihydro-2-oxo- (9CI) (CA INDEX NAME)

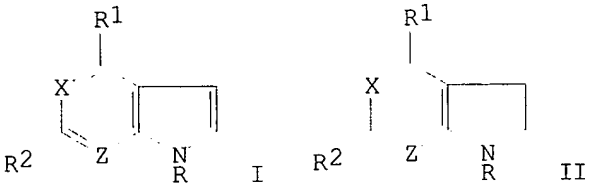


124476-85-3 CAPLUS
1H-Pyrrolo[2,3-b]pyridine-5-carbonitrile, 4,6-diamino-2,3-dihydro-1-(4-nitrophenyl)-2-oxo- (9CI) (CA INDEX NAME)

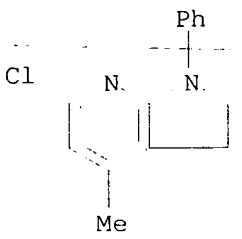


124476-86-4 CAPLUS
1H-Pyrrolo[2,3-b]pyridine-5-carbonitrile, 4,6-diamino-1-(2-cyanophenyl)-2,3-dihydro-2-oxo- (9CI) (CA INDEX NAME)

DOCUMENT NUMBER: 91:5132
TITLE: Azaindole derivatives. 57. Dehydrogenation of substituted 5- and 7-azaindolines activated by manganese dioxide
AUTHOR(S): Azimov, V. A.; Krasnokutskaya, D. M.; Palant, I. N.; Yakhontov, L. N.
CORPORATE SOURCE: Vses. Nauchno-Issled. Khim.-Farm. Inst., Moscow, USSR
SOURCE: Khim. Geterotsikl. Soedin. (1979), (3), 375-8
CODEN: KGSSAQ; ISSN: 0453-8234
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI

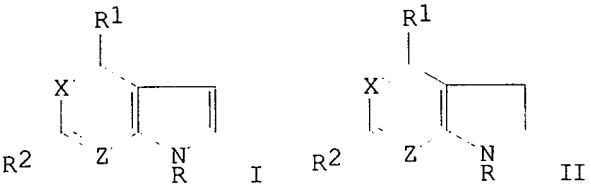


AB Azaindoles I (X = CH, N; Z = N, CH, CCN; R = Ph, H, Ac, PhCH2; R1 = Me, H, R2 = Cl, OH, H, Et2NCH2CH2O) were prepd. by dehydrogenation of the corresponding II with activated MnO2. Oxidn.-redn. potentials were detd.
IT **5912-20-9**
RL: RCT (Reactant)
(dehydrogenation of, by activated manganese dioxide)
RN 5912-20-9 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 6-chloro-2,3-dihydro-4-methyl-1-phenyl- (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)



L32 ANSWER 7 OF 20 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1980:6855 CAPLUS
DOCUMENT NUMBER: 92:6855
TITLE: Synthesis and study of the biological activity of indole nucleosides. IV. Synthesis of 1-.alpha.-L-arabinopyranosides of substituted indoles and 7-azaindoles
AUTHOR(S): Mukhanov, V. I.; Sokolova, T. N.; Nikolaeva, T. G.; Dobrynin, Ya. V.; Preobrazhenskaya, M. N.
CORPORATE SOURCE: Onkol. Nauchn. Tsentr., Moscow, USSR
SOURCE: Khim.-Farm. Zh. (1979), 13(6), 47-57
CODEN: KHFZAN; ISSN: 0023-1134
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI

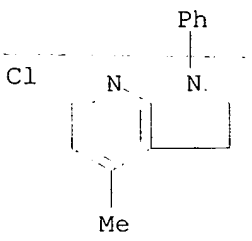
DOCUMENT NUMBER: 91:5132
TITLE: Azaindole derivatives. 57. Dehydrogenation of substituted 5- and 7-azaindolines activated by manganese dioxide
AUTHOR(S): Azimov, V. A.; Krasnokutskaya, D. M.; Palant, I. N.; Yakhontov, L. N.
CORPORATE SOURCE: Vses. Nauchno-Issled. Khim.-Farm. Inst., Moscow, USSR
SOURCE: Khim. Geterotsikl. Soedin. (1979), (3), 375-8
CODEN: KGSSAQ; ISSN: 0453-8234
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI



AB Azaindoles I (X = CH, N; Z = N, CH, CCN; R = Ph, H, Ac, PhCH2; R1 = Me, H, R2 = Cl, OH, H, Et2NCH2CH2O) were prepd. by dehydrogenation of the corresponding II with activated MnO2. Oxidn.-redn. potentials were detd.

IT **5912-20-9**
RL: RCT (Reactant)
(dehydrogenation of, by activated manganese dioxide)

RN 5912-20-9 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 6-chloro-2,3-dihydro-4-methyl-1-phenyl- (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)



L32 ANSWER 7 OF 20 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1980:6855 CAPLUS
DOCUMENT NUMBER: 92:6855
TITLE: Synthesis and study of the biological activity of indole nucleosides. IV. Synthesis of 1-.alpha.-L-arabinopyranosides of substituted indoles and 7-azaindoles
AUTHOR(S): Mukhanov, V. I.; Sokolova, T. N.; Nikolaeva, T. G.; Dobrynin, Ya. V.; Preobrazhenskaya, M. N.
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SOURCE: Khim.-Farm. Zh. (1979), 13(6), 47-57
CODEN: KHFZAN; ISSN: 0023-1134
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI

The Examiner also stated that the methods of use of Group III are different from the methods of Group II. The Examiner furthermore stated that Group IV is supposedly separate and distinct from Groups II and III because the methods of Groups and II and III can allegedly be practiced with another materially different product, for example the compounds of Group I.

In response, applicant elects the claims of Group I, claims 1-15, directed to compounds and compositions. Applicant traverses the restriction between the claims of Groups I and II. Applicant's traversal is because the U.S. Patent Office most typically permits an applicant to claim a method of use along with compounds in a single application.

The Examiner also stated that claims 1 and 2 are generic to a plurality of disclosed, supposedly patently distinct species. The Examiner required that applicant elect a single disclosed species. Furthermore, the Examiner asserted that claims 14-18 are generic to a plurality of disclosed, supposedly patently distinct species of disorders and required that applicant elect a single disclosed disorder.

The Examiner's remarks with regard to the species encompassed by claims 21 and 27 are rendered moot in light of applicant's election of Group I.

In response, applicant elects, with traverse, the title compound of Example 20, pages 55-56, of the subject application, namely butyl-[2,5-dimethyl-7-(2,4,6-trimethylphenyl)-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-ethylamine, and applicant elects the disorder "depression", with traverse. Applicant traverses the requirement to elect a single species because applicant should not be required to restrict the subject application to a single compound and a single disorder. Rather, applicant submits that the Examiner should follow the practice set forth in the MPEP whereby an Examiner examines further species should the elected species be deemed allowable.

Should a telephone interview be of assistance in advancing the prosecution of the subject application, the Examiner is kindly invited to telephone applicant's undersigned attorney at the number provided.

UNITED STATES PATENT AND TRADEMARK OFFICE

COMMISSIONER FOR PATENTS
UNITED STATES PATENT AND TRADEMARK OFFICE
WASHINGTON, D.C. 20231
www.uspto.gov

Bib Data Sheet

SERIAL NUMBER	FILING DATE	CLASS	GROUP ART UNIT	ATTORNEY DOCKET NO.
09/580,287	05/30/2000 RULE _	514	1614	PC8605D

APPLICANTS

[redacted] Waterford, CT ;

** CONTINUING DATA **********

THIS APPLICATION IS A CIP OF 08/741,066 10/30/1996 AND A CIP OF 09/254,387 03/04/1999.

** FOREIGN APPLICATIONS **********

IF REQUIRED, FOREIGN FILING LICENSE GRANTED ** 07/24/2000

Foreign Priority claimed	STATE OR COUNTRY	SHEETS DRAWING	TOTAL CLAIMS	INDEPENDENT CLAIMS
☐ yes ☐ no	CT	_	29	4
35 USC 119 (a-d) conditions met ☐ yes ☐ no ☐ Met after Allowance				
Verified and Acknowledged Examiner's Signature Initials				

ADDRESS

23913

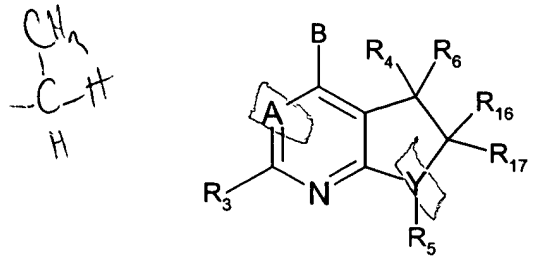
TITLE

Corticotropin releasing factor antagonists

FILING FEE RECEIVED	FEES: Authority has been given in Paper	
1060	No. _____ to charge/credit DEPOSIT ACCOUNT No. _____ for following:	☐ All Fees ☐ 1.16 Fees (Filing) ☐ 1.17 Fees (Processing Ext. of time) ☐ 1.18 Fees (Issue) ☐ Other _____ ☐ Credit

CLAIMS

1. A compound of the formula



II

or a pharmaceutically acceptable salt thereof, wherein

A is -CR_7 or N;

B is -NR_1R_2, -$CR_1R_2R_{11}$, -$C(=CR_2R_{12})R_1$, -$NHCHR_1R_2$, -$OCHR_1R_2$, -$SCHR_1R_2$, -CHR_2OR_1, -CHR_1OR_2, -CHR_2SR_1, -$C(S)R_2$, -$C(O)R_2$, -$CHR_2NR_1R_2$, -CHR_1NHR_2, -$CHR_1N(CH_3)R_2$, or –$NR_{12}NR_1R_2$;

Y is CH or N;

Z is NH, O, S, -$N(C_1$-C_2 alkyl), -$NC(O)CF_3$, or -$C(R_{13}R_{14})$, wherein R_{13} and R_{14} are each, independently, hydrogen, trifluoromethyl or methyl, or one of R_{13} and R_{14} is cyano and the other is hydrogen or methyl, or -$C(R_{13}R_{14})$ is a cyclopropyl group, or Z is nitrogen or CH and forms a five or six membered heterocyclic ring fused with R_5, which ring optionally comprises two or three further hetero members selected independently from oxygen, nitrogen, NR_{12}, and $S(O)_m$, and optionally comprises from one to three double bonds, and is optionally substituted with halo, C_1-C_4 alkyl, -$O(C_1$-C_4 alkyl), NH_2, $NHCH_3$, $N(CH_3)_2$, CF_3, or OCF_3, with the proviso that said ring does not contain any –S-S-, -S-O-, -N-S-, or –O-O- bonds, and does not comprise more than two oxygen or $S(O)_m$ heterologous members;

R_1 is C(O)H, C(O)(C_1-C_6 alkyl), C(O)(C_1-C_6 alkylene)(C_3-C_8 cycloalkyl), C(O)(C_3-C_8 cycloalkylene)(C_3-C_8 cycloalkyl), C(O)(C_1-C_6 alkylene)(C_4-C_8 heterocycloalkyl), -C(O)(C_3-C_8 cycloalkylene)(C_4-C_8 heterocycloalkyl), C_1-C_6 alkyl, C_3-C_8 cycloalkyl, C_4-C_8 heterocycloalkyl, -(C_1-C_6 alkylene)(C_3-C_8 cycloalkyl), -(C_3-C_8 cycloalkylene)(C_3-C_8 cycloalkyl), -(C_1-C_6 alkylene)(C_4-C_8 heterocycloalkyl), -(C_3-C_8 cycloalkylene)(C_4-C_8 heterocycloalkyl), or –O-aryl, or –O-(C_1-C_6 alkylene)-aryl; wherein said aryl, C_4-C_8 heterocycloalkyl, C_1-C_6 alkyl, C_3-C_8 cycloalkyl, C_3-C_8 cycloalkylene, and C_1-C_6 alkylene groups may each independently be optionally substituted with from one to six fluoro and may each independently be optionally substituted with one or two substituents R_8 independently selected from the group consisting of C_1-C_4 alkyl, -C_3-C_8 cycloalkyl, hydroxy, chloro, bromo, iodo, CF_3, -O-(C_1-C_6 alkyl), -O-(C_3-C_5 cycloalkyl), -O-CO-(C_1-C_4 alkyl), -O-CO-NH(C_1-C_4 alkyl), -O-CO-N(R_{24})(R_{25}), -N(R_{24})(R_{25}), -S(C_1-C_4 alkyl), -S(C_3-C_5 cycloalkyl),

-N(C_1-C_4alkyl)CO(C_1-C_4 alkyl), -NHCO(C_1-C_4 alkyl), -COO(C_1-C_4 alkyl), -CONH(C_1-C_4 alkyl), -CON(C_1-C_4 alkyl)(C_1-C_2 alkyl), CN, NO_2, -OSO_2(C_1-C_4 alkyl), S^+(C_1-C_6 alkyl)(C_1-C_2 alkyl)I^-, -SO(C_1-C_4 alkyl) and -SO_2(C_1-C_4 alkyl); and wherein the C_1-C_6 alkyl, C_1-C_6 alkylene, C_5-C_8 cycloalkyl, C_5-C_8 cycloalkylene, and C_5-C_8 heterocycloalkyl moieties of R_1 may optionally independently contain from one to three double or triple bonds; and wherein the C_1-C_4 alkyl moieties and C_1-C_6 alkyl moieties of R_8 can optionally independently be substituted with hydroxy, amino, C_1-C_4 alkyl, aryl, -CH_2-aryl, C_3-C_5 cycloalkyl, or –O-(C_1-C_4 alkyl), and can optionally independently be substituted with from one to six fluoro, and can optionally contain one or two double or triple bonds; and wherein each heterocycloalkyl group of R_1 contains from one to three heteromoieties selected from oxygen, $S(O)_m$, nitrogen, and NR_{12};

R_2 is hydrogen, C_1-C_{12} alkyl, C_3-C_8 cycloalkyl, C_4-C_8 heterocycloalkyl, -(C_1-C_6 alkylene)(C_3-C_8 cycloalkyl), -(C_3-C_8 cycloalkylene)(C_3-C_8 cycloalkyl), -(C_1-C_6 alkylene)(C_4-C_8 heterocycloalkyl), -(C_3-C_8 cycloalkylene)(C_4-C_8 heterocycloalkyl), aryl, –(C_1-C_6 alkylene)aryl, or -(C_3-C_8 cycloalkylene)(aryl); wherein each of the foregoing R_2 groups may optionally be substituted with from one to three substituents independently selected from chloro, fluoro, and C_1-C_6 alkyl, wherein one of said one to three substituents can further be selected from bromo, iodo, C_1-C_6 alkoxy, -OH, -O-CO-(C_1-C_6 alkyl), -O-CO-N(C_1-C_4 alkyl)(C_1-C_2 alkyl), -S(C_1-C_6 alkyl), -S(O)(C_1-C_6 alkyl), -$S(O)_2$(C_1-C_6 alkyl), S^+(C_1-C_6 alkyl)(C_1-C_2 alkyl)I^-, CN, and NO_2; and wherein the C_1-C_{12} alkyl, -(C_1-C_6 alkylene), -(C_5-C_8 cycloalkyl), -(C_5-C_8 cycloalkylene), and -(C_5-C_8 heterocycloalkyl) moieties of R_2 may optionally independently contain from one to three double or triple bonds; and wherein each heterocycloalkyl group of R_2 contains from one to three heteromoieties selected from oxygen, $S(O)_m$, nitrogen, and NR_{12};

or when R_1 and R_2 are as in –$NHCHR_1R_2$, -$OCHR_1R_2$, -$SCHR_1R_2$, –CHR_1R_2 or -NR_1R_2, R_1 and R_2 of B may form a saturated 5- to 8-membered ring which may optionally contain one or two double bonds and in which one or two of the ring carbons may optionally be replaced by an oxygen, $S(O)_m$, nitrogen or NR_{12}; and which carbocyclic ring can optionally be substituted with from 1 to 3 substituents selected from the group consisting of hydroxy, C_1-C_4 alkyl, fluoro, chloro, bromo, iodo, CF_3, -O-(C_1-C_4 alkyl), -O-CO-(C_1-C_4 alkyl), -O-CO-NH(C_1-C_4 alkyl), -O-CO-N(C_1-C_4 alkyl)(C_1-C_2 alkyl), -NH(C_1-C_4 alkyl), -N(C_1-C_2 alkyl)(C_1-C_4 alkyl), -S(C_1-C_4 alkyl), -N(C_1-C_4 alkyl)CO(C_1-C_4 alkyl), -NHCO(C_1-C_4 alkyl),-COO(C_1-C_4 alkyl), -CONH(C_1-C_4 alkyl), -CON(C_1-C_4 alkyl)(C_1-C_2 alkyl), CN, NO_2, -OSO_2(C_1-C_4 alkyl), -SO(C_1-C_4 alkyl), and –SO_2(C_1-C_4 alkyl), wherein one of said one to three substituents can further be selected from phenyl;

R_3 is methyl, ethyl, fluoro, chloro, bromo, iodo, cyano, methoxy, OCF_3, NH_2, NH(C_1-C_2 alkyl), $N(CH_3)_2$, -$NHCOCF_3$, -$NHCH_2CF_3$, $S(O)_m$(C_1-C_4 alkyl), $CONH_2$, -$CONHCH_3$, $CON(CH_3)_2$, -CF_3, or CH_2OCH_3;

R_4 is hydrogen, C_1-C_4 alkyl, C_3-C_5 cycloalkyl, -(C_1-C_4 alkylene)(C_3-C_5 cycloalkyl), -(C_3-C_5 cycloalkylene)(C_3-C_5 cycloalkyl), cyano, fluoro, chloro, bromo, iodo, -OR_{24}, C_1-C_6 alkoxy, -O-(C_3-

C_5 cycloalkyl), -O-(C_1-C_4 alkylene)(C_3-C_5 cycloalkyl), -O-(C_3-C_5 cycloalkylene)(C_3-C_5 cycloalkyl), -$CH_2SC(S)O(C_1$-C_4 alkyl), -CH_2OF_3, CF_3, amino, nitro, -$NR_{24}R_{25}$, -(C_1-C_4 alkylene)-OR_{24}, -(C_1-C_4 alkylene)Cl, -(C_1-C_4 alkylene)$NR_{24}R_{25}$, -$NHCOR_{24}$, -$NHCONR_{24}R_{25}$, -C=NOR_{24}, -$NHNR_{24}R_{25}$, -$S(O)_mR_{24}$, -$C(O)R_{24}$, -$OC(O)R_{24}$, -C(O)CN, -$C(O)NR_{24}R_{25}$, -$C(O)NHNR_{24}R_{25}$, and –$COOR_{24}$, wherein the alkyl and alkylene groups of R_4 may optionally independently contain one or two double or triple bonds and may optionally independently be substituted with one or two substituents R_{10} independently selected from hydroxy, amino, -$NHCOCH_3$, -$NHCOCH_2Cl$, -$NH(C_1$-C_2 alkyl), -$N(C_1$-C_2 alkyl)(C_1-C_2 alkyl), -$COO(C_1$-C_4 alkyl), -COOH, -$CO(C_1$-C_4 alkyl), C_1-C_6 alkoxy, C_1-C_3 thioalkyl, cyano and nitro, and with one to four substituents independently selected from fluoro and chloro;

R_5 is aryl or heteroaryl and is substituted with from one to four substituents R_{27} independently selected from halo, C_1-C_{10} alkyl, -(C_1-C_4 alkylene)(C_3-C_8 cycloalkyl), -(C_1-C_4 alkylene)(C_4-C_8 heterocycloalkyl), -(C_3-C_8 cycloalkyl), -(C_4-C_8 heterocycloalkyl), -(C_3-C_8 cycloalkylene)(C_3-C_8 cycloalkyl), -(C_3-C_8 cycloalkylene)(C_4-C_8 heterocycloalkyl), C_1-C_4 haloalkyl, C_1-C_4 haloalkoxy, nitro, cyano, -$NR_{24}R_{25}$, -$NR_{24}COR_{25}$, -$NR_{24}CO_2R_{26}$, -COR_{24}, -OR_{25}, -$CONR_{24}R_{25}$, -$CO(NOR_{22})R_{23}$, -CO_2R_{26}, -C=$N(OR_{22})R_{23}$, and –$S(O)_mR_{23}$; wherein said C_1-C_{10} alkyl, C_3-C_8 cycloalkyl, (C_1-C_4 alkylene), (C_3-C_8 cycloalkyl), (C_3-C_8 cycloalkylene), and (C_4-C_8 heterocycloalkyl) groups can be optionally substituted with from one to three substituents independently selected form C_1-C_4 alkyl, C_3-C_8 cycloalkyl, (C_1-C_4 alkylene)(C_3-C_8 cycloalkyl), -(C_3-C_8 cycloalkylene)(C_3-C_8 cycloalkyl), C_1-C_4 haloalkyl, hydroxy, C_1-C_6 alkoxy, nitro halo, cyano, -$NR_{24}R_{25}$, -$NR_{24}COR_{25}$, $NR_{24}CO_2R_{26}$, -COR_{24}, -OR_{25}, -$CONR_{24}R_{25}$, CO_2R_{26}, -$CO(NOR_{22})R_{25}$, and –$S(O)_mR_{23}$; and wherein two adjacent substituents of the R_5 group can optionally form a 5-7 membered ring, saturated or unsaturated, fused to R^5, which ring optionally can contain one, two, or three heterologous members independently selected from O, $S(O)_m$, and N, but not any –S-S-, -O-O-, -S-O-, or –N-S- bonds, and which ring is optionally substituted with C_1-C_4 alkyl, C_3-C_8 cycloalkyl, -(C_1-C_4 alkylene)(C_3-C_8 cycloalkyl), -(C_3-C_8 cyloalkylene)(C_3-C_8 cycloalkyl), C_1-C_4 haloalkyl, nitro, halo, cyano –$NR_{24}R_{25}$, $NR_{24}COR_{25}$, $NR_{24}CO_2R_{26}$, -COR_{24}, -OR_{25}, -$CONR_{24}R_{25}$, CO_2R_{26}, -$CO(NOR_{26})R_{25}$, or –$S(O)_mR_{23}$; wherein one of said one to four optional substituents R_{27} can further be selected from -$SO_2NH(C_1$-C_4 alkyl), -$SO_2NH(C_1$-C_4 alkylene)(C_3-C_8 cycloalkyl), -$SO_2NH(C_3$-C_8 cycloalkyl), -$SO_2NH(C_3$-C_8 cycloalkylene)(C_3-C_8 cycloalkyl), -$SO_2N(C_1$-C_4 alkyl)(C_1-C_2 alkyl), -SO_2NH_2, -$NHSO_2(C_1$-C_4 alkyl), -$NHSO_2(C_3$-C_8 cycloalkyl), -$NHSO_2(C_1$-C_4 alkylene)(C_3-C_8 cycloalkyl), and -$NHSO_2(C_3$-C_8 cycloalkylene)(C_3-C_8 cycloalkyl); and wherein the alkyl, and alkylene groups of R_5 may independently optionally contain one double or triple bond;

R_6 is hydrogen, C_1-C_6 alkyl, C_3-C_8 cycloalkyl, -(C_1-C_6 alkylene)(C_3-C_8 cycloalkyl), or –(C_3-C_8 cycloalkylene)(C_3-C_8 cycloalkyl), wherein said alkyl and cycloalkyl may optionally be substituted with one hydroxy, methoxy, ethoxy or fluoro group;

or R_6 and R_4 can together form an oxo (=O) group, or can be connected to form a 3-8 membered carbocyclic ring, optionally containing one to three double bonds, and optionally containing one, two, or three heterologous ring members selected from O, SO_m, N, and NR_{12}, but not containing any –O-O-, -S-O-, -S-S-, or –N-S- bonds, and further optionally substituted with C_1-C_4 alkyl or C_3-C_6 cycloalkyl, wherein said C_1-C_4 alkyl substituent may optionally contain one double or triple bond;

R_7 is hydrogen, methyl, fluoro, chloro, bromo, iodo, cyano, hydroxy, -O(C_1-C_2 alkyl), -O(cyclopropyl), -COO(C_1-C_2 alkyl), -COO(C_3-C_8 cycloalkyl), -OCF_3, CF_3, -CH_2OH, or CH_2OCH_3;

R_{11} is hydrogen, hydroxy, fluoro, ethoxy, or methoxy;

R_{12} is hydrogen or C_1-C_4 alkyl;

R_{16} and R_{17} are each, independently, hydrogen, hydroxy, methyl, ethyl, methoxy, or ethoxy, except that R_{16} and R_{17} are not both methoxy or ethoxy;

or R_{16} and R_{17} together form an oxo (=O) group;

or R_{16} and R_{17} are connected to form a 3-8 membered carbocyclic ring, optionally containing one to three double bonds, and optionally containing from one to three heterologous ring members selected from O, SO_m, N, and NR_{12}, but not containing any –O-O-, -S-O-, -S-S-, or –N-S- bonds, and further optionally substituted with C_1-C_4 alkyl or C_3-C_6 cycloalkyl, wherein said C_1-C_4 alkyl substituent may optionally contain one double or triple bond;

R_{22} is independently at each occurrence selected from hydrogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, C_3-C_6 alkenyl, C_3-C_6 alkynyl, C_3-C_8 cycloalkyl, (C_3-C_8 cycloalkylene)(C_3-C_8 cycloalkyl), and (C_1-C_4 alkylene)(C_3-C_8 cycloalkyl);

R_{23} is independently at each occurrence selected from C_1-C_4 alkyl, C_1-C_4 haloalkyl, C_2-C_8 alkoxyalkyl, C_3-C_8 cycloalkyl, -(C_1-C_4 alkylene)(C_3-C_8 cycloalkyl), -(C_3-C_8 cycloalkylene)(C_3-C_8 cycloalkyl), aryl, -(C_1-C_4 alkylene)aryl, piperidine, pyrrolidine, piperazine, N-methylpiperazine, morpholine, and thiomorpholine;

R_{24} and R_{25} are independently at each occurrence selected from hydrogen, -C_1-C_4 alkyl, C_1-C_4 haloalkyl, especially CF_3, -CHF_2, CF_2CF_3, or CH_2CF_3, -(C_1-C_4 alkylene)OH, -(C_1-C_4 alkylene)-O-(C_1-C_4 alkyl), -(C_1-C_4 alkylene)-O-(C_3-C_5 cycloalkyl), C_3-C_8 cycloalkyl, -(C_1-C_4 alkylene)(C_3-C_8 cycloalkyl), -(C_3-C_8 cycloalkylene)(C_3-C_8 cycloalkyl), -C_4-C_8 heterocycloalkyl, -(C_1-C_4 alkylene)(C_4-C_8 heterocycloalkyl), -(C_3-C_8 cycloalkylene)(C_4-C_8 heterocycloalkyl), aryl, and -(C_1-C_4 alkylene)(aryl), wherein the -C_4-C_8 heterocycloalkyl groups can each independently optionally be substituted with aryl, CH_2-aryl, or C_1-C_4 alkyl, and can optionally contain one or two double or triple bonds; or, when R_{24} and R_{25} are as $NR_{24}R_{25}$, -$C(O)NR_{24}R_{25}$, -(C_1-C_4 alkylene)$NR_{24}R_{25}$, or -$NHCONR_{24}R_{25}$, then $NR_{24}R_{25}$ may further optionally form a 4 to 8 membered heterocyclic ring optionally containing one or two further hetero members independently selected from $S(O)_m$, oxygen, nitrogen, and NR_{12}, and optionally containing from one to three double bonds;

R26?

EP 1149583 A3 20011114
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO
BR 2001001456 A 20011204 BR 2001-1456 20010411
US 2001041673 A1 20011115 US 2001-834477 20010413
PRIORITY APPLN. INFO.: US 2000-196698P P 20000413
OTHER SOURCE(S): MARPAT 135:339292
AB This invention is directed to pharmaceutical compns. comprising ~~corticotropin releasing factor antagonist~~ and growth hormone or growth hormone secretagogues, prodrugs thereof, or pharmaceutically acceptable salts of said compds. or said prodrugs (Markush structures given). The invention is also directed to the use of such compns. in the treatment or prevention of osteoporosis and heart-related diseases (including congestive heart failure) in mammals, particularly humans (no data).
IT **175139-32-9**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combinations of corticotropin releasing factor antagonists and growth hormone secretagogues)

L29 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:338070 CAPLUS
DOCUMENT NUMBER: 134:336224
TITLE: Use of corticotropin releasing factor (CRF) antagonists for treating syndrome X
INVENTOR(S): Chen, Yuhpyng Liang; Hamanaka, Ernest Seiichi
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: Eur. Pat. Appl., 55 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1097709	A2	20010509	EP 2000-309441	20001026

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO
PRIORITY APPLN. INFO.: US 1999-162340P P 19991029
OTHER SOURCE(S): MARPAT 134:336224
AB Compns. and methods are provided for achieving a therapeutic effect, including the treatment or prevention of syndrome X in an animal, preferably a mammal including a human subject or a companion animal, using a CRF antagonist alone or together with a glucocorticoid receptor antagonist.
IT **175139-32-9**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(CRF antagonist, alone or with glucocorticoid receptor antagonist, for treating syndrome X)

L29 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:185043 CAPLUS
DOCUMENT NUMBER: 134:217215
TITLE: Use of CRF antagonists and related compositions for modifying circadian rhythm and treatment of depression and other conditions
INVENTOR(S): Chen, Yuhpyng Liang
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: Eur. Pat. Appl., 29 pp.

Searched by Barb O'Bryen, STIC 308-4291

ACCESSION NUMBER: 2000:705040 CAPLUS
DOCUMENT NUMBER: 133:276371
TITLE: Use of corticotropin releasing factor (CRF) antagonists to prevent sudden death
INVENTOR(S): Fossa, Anthony Andrea
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: Eur. Pat. Appl., 10 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1040831	A2	20001004	EP 2000-302253	20000320
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CA 2303577	AA	20001002	CA 2000-2303577	20000331
JP 2000302693	A2	20001031	JP 2000-100606	20000403

PRIORITY APPLN. INFO.: US 1999-127659P P 19990402
OTHER SOURCE(S): MARPAT 133:276371
AB A method of preventing sudden death which comprises administering to a mammal, including a human, a therapeutically effective amt. of a corticotropin releasing factor antagonist. The compds. are pyri(mi)dine or pyrazolopyri(mi)dine compds.
IT **175139-32-9**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(as CRF antagonist; corticotropin releasing factor (CRF) antagonists to prevent sudden death)

L29 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1997:413979 CAPLUS
DOCUMENT NUMBER: 127:29112
TITLE: New uses for heterocyclic corticotropin-releasing factor (CRF) antagonists in treating cardiovascular diseases, osteoporosis, ulcers, and other disorders
INVENTOR(S): Chen, Yuhpyng Liang; Fossa, Anthony Andrea
PATENT ASSIGNEE(S): Pfizer Inc.; USA
SOURCE: Eur. Pat. Appl., 15 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 773023	A1	19970514	EP 1996-307977	19961104
R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
CA 2189830	AA	19970509	CA 1996-2189830	19961107
US 2001000340	A1	20010419	US 2000-735841	20001213

PRIORITY APPLN. INFO.: US 1995-6333P P 19951108
US 1996-741066 A3 19961030
OTHER SOURCE(S): MARPAT 127:29112
GI

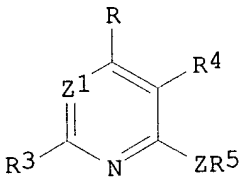
JP 09507249	T2	19970722	JP 1995-500615		19950606
JP 2000001434	A2	20000107	JP 1999-162425		19950606
AT 196295	E	20000915	AT 1995-918715		19950606
ES 2150567	T3	20001201	ES 1995-918715		19950606
JP 3193055	B2	20010730	JP 1996-500615		19950606
BR 9502708	A	19960430	BR 1995-2708		19950607
ZA 9504677	A	19961209	ZA 1995-4677		19950607
FI 9604894	A	19961205	FI 1996-4894		19961205
NO 9605237	A	19970206	NO 1996-5237		19961206
US 5962479	A	19991005	US 1996-765110		19961206
JP 11246411	A2	19990914	JP 1998-343077		19981202
JP 3223169	B2	20011029			
CN 1246475	A	20000308	CN 1999-106922		19990521
NO 2000002391	A	20000508	NO 2000-2391		20000508

PRIORITY APPLN. INFO.:

US 1994-255514	A	19940608
JP 1995-500615	A3	19950606
JP 1996-500615	A3	19950606
WO 1995-IB439	W	19950606
NO 1996-5237	A	19961206

OTHER SOURCE(S): MARPAT 124:261062

GI



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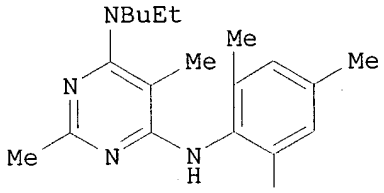

II

AB Title compds. [I; R = NR1R2, CHR1R2, OCHR1R2, etc.; R1 = (un)substituted alkyl; R2 = (cyclo)alkyl, (hetero)aryl, etc.; R3 = halo, cyano, Me, Et, OMe, etc.; R4 = H, halo, alkyl, alkoxy, etc.; R5 = (hetero)aryl; Z = O, CH2, (alkyl)imino, etc.; Z1 = CR7 or N; R7 = H, halo, Me, alkoxy(carbonyl), etc.; R4ZR5 = (un)substituted CH2CH2CHR5, -CH2CH2NR5, -NHCONR5, -N:CHGNR5, etc.; G = H, OMe, Me, etc.] were prepd. Thus, 2,5-dimethyl-4,6-dichloropyrimidine was aminated by BuNHEt and the product aminated by 2,4,6-Me3C6H2NH2 to give title compd. II. Binding activities for I ,expressed as IC50 values, generally range from about 0.5nM to about 10.mu.M (sic).

IT **175139-32-9P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of pyridine and pyrimidine derivs. as ACTH releasing factor antagonists)

L29 ANSWER 9 OF 10 USPATFULL
ACCESSION NUMBER: 2001:205880 USPATFULL
TITLE: Combinations of corticotropin releasing factor antagonists and growth hormone secretagogues
INVENTOR(S): Fossa, Anthony A., Mystic, CT, United States

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2001041673	A1	20011115
APPLICATION INFO.:	US 2001-834477	A1	20010413 (9)

NUMBER DATE

Searched by Barb O'Bryen, STIC 308-4291

FILE 'CAOLD' ENTERED AT 14:01:51 ON 25 APR 2002

FILE COVERS 1907-1966
FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

This file supports REG1stRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

L3		STR
L6	902397	SEA FILE=REGISTRY ABB=ON (C5N/EAS OR C4N2/EAS) AND (C4N/EAS OR C3N2/EAS) AND NR>2
L8	37745	SEA FILE=REGISTRY SUB=L6 SSS FUL L3
L9		STR
L19	59	SEA FILE=REGISTRY SUB=L8 SSS FUL L9
L20	34	SEA FILE=REGISTRY ABB=ON C23H34N4/MF
L21	7	SEA FILE=REGISTRY ABB=ON (C23H34N4.2CH3O4S/MF OR C23H34N4.2CLH /MF OR C23H34N4.3CLH/MF OR C23H34N4.BF4.H/MF OR C23H34N4.H2O4S/ MF OR C23H34N4.HI/MF)
L22	1	SEA FILE=REGISTRY ABB=ON (L21 OR L20) AND L19
L25	0	SEA FILE=CAOLD ABB=ON L22

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>>>  are displayed in the PI (Patent Information) field of USPATFULL   <<<
>>>  records and may be searched in standard search fields, e.g., /PN, <<<
>>>  /PK, etc.                                                        <<<

>>>  USPATFULL and USPAT2 can be accessed and searched together       <<<
>>>  through the new cluster USPATALL.  Type FILE USPATALL to         <<<
>>>  enter this cluster.                                              <<<
>>>                                                                   <<<
>>>  Use USPATALL when searching terms such as patent assignees,      <<<
>>>  classifications, or claims, that may potentially change from     <<<
>>>  the earliest to the latest publication.                          <<<

This file contains CAS Registry Numbers for easy and accurate
substance identification.


L3              STR
L6       902397 SEA FILE=REGISTRY ABB=ON  (C5N/EAS OR C4N2/EAS) AND (C4N/EAS
               OR C3N2/EAS) AND NR>2
L8        37745 SEA FILE=REGISTRY SUB=L6 SSS FUL L3
L9              STR
L19          59 SEA FILE=REGISTRY SUB=L8 SSS FUL L9
L27           4 SEA FILE=USPATFULL ABB=ON  L19


L31           1 L27 NOT L24
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previously printed (species)

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FILE 'CAPLUS' ENTERED AT 14:02:41 ON 25 APR 2002
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FILE 'USPATFULL' ENTERED AT 14:02:41 ON 25 APR 2002
CA INDEXING COPYRIGHT (C) 2002 AMERICAN CHEMICAL SOCIETY (ACS)
PROCESSING COMPLETED FOR L30
PROCESSING COMPLETED FOR L31
L32           20 DUP REM L30 L31 (0 DUPLICATES REMOVED)
                 ANSWERS '1-19' FROM FILE CAPLUS
                 ANSWER '20' FROM FILE USPATFULL

=> d ibib abs hitstr l32 1-20; fil cao; d que nos 128

L32  ANSWER 1 OF 20  CAPLUS  COPYRIGHT 2002 ACS
ACCESSION NUMBER:         2001:396488  CAPLUS
DOCUMENT NUMBER:          135:5624
TITLE:                    Preparation of substituted heterocyclic derivatives as
                          CRF antagonists
INVENTOR(S):              Chen, Yuhpyng Liang
PATENT ASSIGNEE(S):       Pfizer Products Inc., USA
SOURCE:                   Eur. Pat. Appl., 34 pp.
                          CODEN: EPXXDW
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.        KIND  DATE          APPLICATION NO.  DATE
     ---------------   ----  --------      ---------------  --------
     EP 1103553         A2   20010530      EP 2000-310357   20001122
     EP 1103553         A3   20010801
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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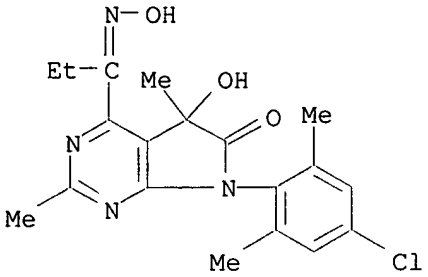
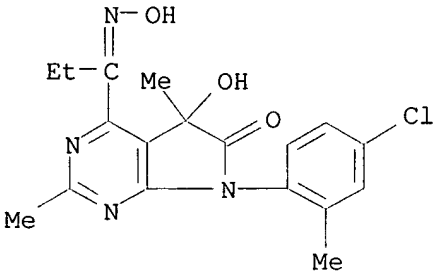
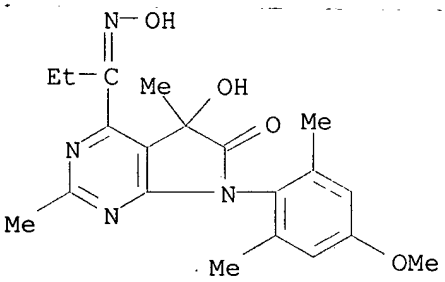


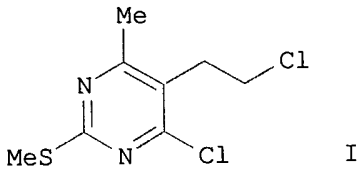
RN 342432-22-8 CAPLUS
CN 6H-Pyrrolo[2,3-d]pyrimidin-6-one, 7-(4-chloro-2-methylphenyl)-5,7-dihydro-5-hydroxy-4-[1-(hydroxyimino)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)



RN 342432-23-9 CAPLUS
CN 6H-Pyrrolo[2,3-d]pyrimidin-6-one, 5,7-dihydro-5-hydroxy-4-[1-(hydroxyimino)propyl]-7-(4-methoxy-2,6-dimethylphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)



RN 342432-24-0 CAPLUS
CN 6H-Pyrrolo[2,3-d]pyrimidin-6-one, 7-(2,4-dichlorophenyl)-5,7-dihydro-5-hydroxy-4-[1-(hydroxyimino)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)



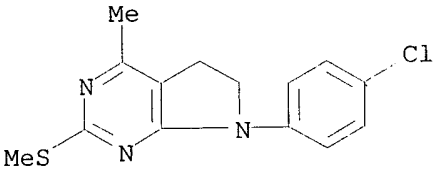
I

AB Several new pyrimidines, furo[2,3-d]pyrimidines, thieno[2,3-d]pyrimidines, pyrrolo[2,3-d]pyrimidines, triazolo[4,3-a]pyrimidines and tetrazolo[1,5-a]pyrimidine were prepd. from known 5-(2-hydroxyethyl)-6-methyl-2-thiouracil. One of the compds. thus prepd., 4-chloro-5-(2-chloroethyl)-2-methylthio-6-methylpyrimidine (I) exhibited weak antitumor activity in vitro.

IT **178268-51-4P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and antineoplastic activity of fused pyrimidine derivs.)

RN 178268-51-4 CAPLUS

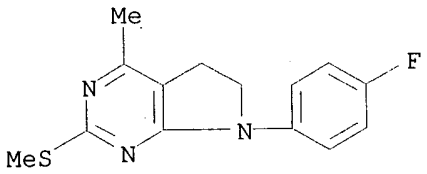
CN 5H-Pyrrolo[2,3-d]pyrimidine, 7-(4-chlorophenyl)-6,7-dihydro-4-methyl-2-(methylthio)- (9CI) (CA INDEX NAME)



IT **178268-48-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and antineoplastic activity of fused pyrimidine derivs.)

RN 178268-48-9 CAPLUS

CN 5H-Pyrrolo[2,3-d]pyrimidine, 7-(4-fluorophenyl)-6,7-dihydro-4-methyl-2-(methylthio)- (9CI) (CA INDEX NAME)

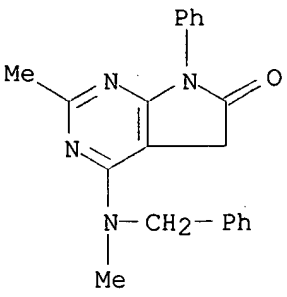


L32 ANSWER 3 OF 20 CAPLUS COPYRIGHT 2002 ACS

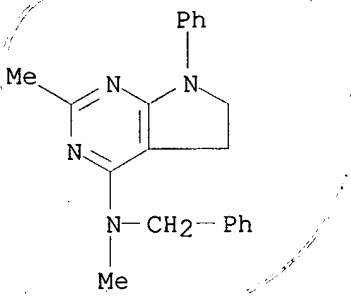
ACCESSION NUMBER: 1992:448601 CAPLUS
DOCUMENT NUMBER: 117:48601
TITLE: Preparation of 4-imino-7-phenylpyrrolo[2,3-d]pyrimidines as cardiovascular agents
INVENTOR(S): Hargreaves, Rodney Brian
PATENT ASSIGNEE(S): Imperial Chemical Industries PLC, UK
SOURCE: Eur. Pat. Appl., 22 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

OK for 09/583,254

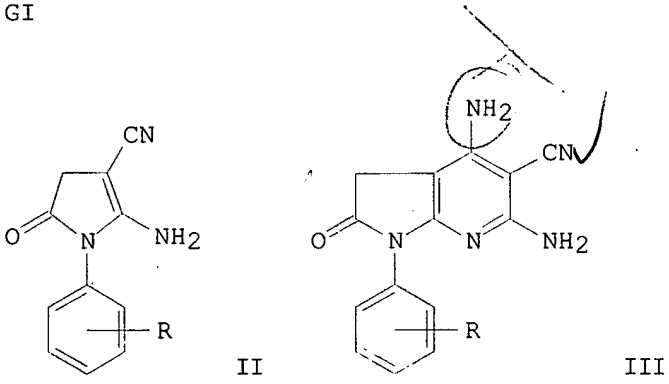
Searched by Barb O'Bryen, STIC 308-4291



RN 142228-55-5 CAPLUS
CN 5H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6,7-dihydro-N,2-dimethyl-7-phenyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

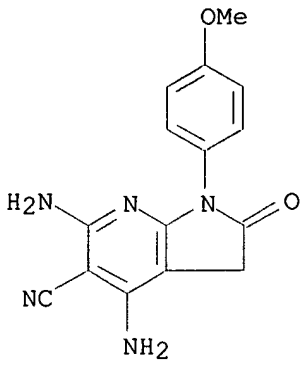


L32 ANSWER 4 OF 20 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1990:35716 CAPLUS
DOCUMENT NUMBER: 112:35716
TITLE: Synthesis and reactions of 2-amino-1-aryl-5-oxo-.DELTA.2-pyrroline-3-carbonitriles
AUTHOR(S): Schaefer, Harry; Gewald, Karl
CORPORATE SOURCE: Sekt. Chem., Tech. Univ. Dresden, Dresden, DDR-8027, Ger. Dem. Rep.
SOURCE: Monatsh. Chem. (1989), 120(4), 315-22
CODEN: MOCMB7; ISSN: 0026-9247
DOCUMENT TYPE: Journal
LANGUAGE: German
OTHER SOURCE(S): CASREACT 112:35716
GI

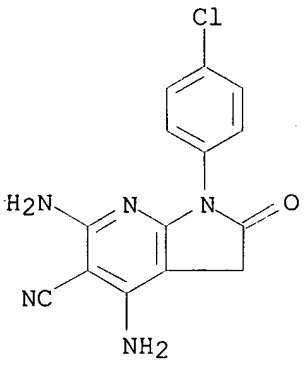


II III

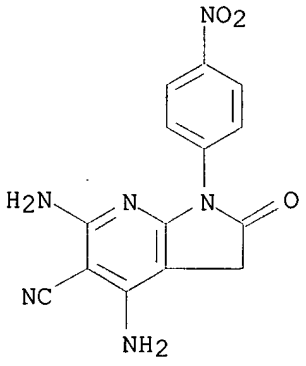
AB Cyclocondensation reaction of CH2(CN)2 with RC6H4NHCOCH2Cl (I; R = H, 4-Me, 4-MeO, 4-Cl) in EtOH contg. K2CO3 yields title compds. II (same R), whereas 4,6-diamino-1-aryl-2-oxo-2,3-dihydropyrrolo[2,3-b]pyridin-5-



RN 124476-84-2 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-5-carbonitrile, 4,6-diamino-1-(4-chlorophenyl)-2,3-dihydro-2-oxo- (9CI) (CA INDEX NAME)

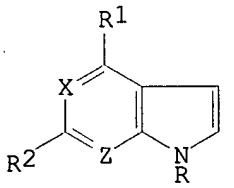


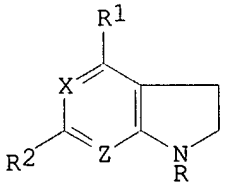
RN 124476-85-3 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-5-carbonitrile, 4,6-diamino-2,3-dihydro-1-(4-nitrophenyl)-2-oxo- (9CI) (CA INDEX NAME)



RN 124476-86-4 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-5-carbonitrile, 4,6-diamino-1-(2-cyanophenyl)-2,3-dihydro-2-oxo- (9CI) (CA INDEX NAME)

DOCUMENT NUMBER: 91:5132
TITLE: Azaindole derivatives. 57. Dehydrogenation of substituted 5- and 7-azaindolines activated by manganese dioxide
AUTHOR(S): Azimov, V. A.; Krasnokutskaya, D. M.; Palant, I. N.; Yakhontov, L. N.
CORPORATE SOURCE: Vses. Nauchno-Issled. Khim.-Farm. Inst., Moscow, USSR
SOURCE: Khim. Geterotsikl. Soedin. (1979), (3), 375-8
CODEN: KGSSAQ; ISSN: 0453-8234
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI

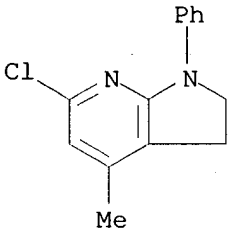

I


II

AB Azaindoles I (X = CH, N; Z = N, CH, CCN; R = Ph, H, Ac, PhCH2; R1 = Me, H, R2 = Cl, OH, H, Et2NCH2CH2O) were prepd. by dehydrogenation of the corresponding II with activated MnO2. Oxidn.-redn. potentials were detd.

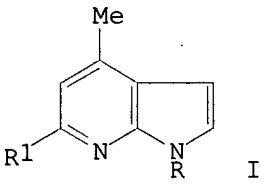
IT **5912-20-9**
RL: RCT (Reactant)
(dehydrogenation of, by activated manganese dioxide)

RN 5912-20-9 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 6-chloro-2,3-dihydro-4-methyl-1-phenyl- (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)



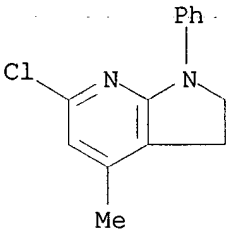
L32 ANSWER 7 OF 20 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1980:6855 CAPLUS
DOCUMENT NUMBER: 92:6855
TITLE: Synthesis and study of the biological activity of indole nucleosides. IV. Synthesis of 1-.alpha.-L-arabinopyranosides of substituted indoles and 7-azaindoles
AUTHOR(S): Mukhanov, V. I.; Sokolova, T. N.; Nikolaeva, T. G.; Dobrynin, Ya. V.; Preobrazhenskaya, M. N.
CORPORATE SOURCE: Onkol. Nauchn. Tsentr., Moscow, USSR
SOURCE: Khim.-Farm. Zh. (1979), 13(6), 47-57
CODEN: KHFZAN; ISSN: 0023-1134
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI

ACCESSION NUMBER: 1978:89552 CAPLUS
DOCUMENT NUMBER: 88:89552
TITLE: Azaindole derivatives. LI. Synthesis of substituted (7-azaindolin-6-yl)diphenylcarbinols
AUTHOR(S): Krasnokutskaya, D. M.; Morkovnik, A. S.; Tertov, B. A.; Yakhontov, L. N.
CORPORATE SOURCE: Vses. Nauchno-Issled. Khim. Farm. Inst., Moscow, USSR
SOURCE: Khim. Geterotsikl. Soedin. (1977), (11), 1527-30
CODEN: KGSSAQ
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI



I

AB The title compds. I (R = Bu, Ph, H, R1 = Ph2COH) were obtained in 12-49% yields by treatment of I (R1 = Cl) with Li naphthalide to give a Li deriv. which was treated with Ph2CO. Addnl. obtained were 24-97% I (R = Bu, Ph, H, R1 = H), and 73% I (R = Bu, R1 = Ph2CH).
IT **5912-20-9**
RL: RCT (Reactant)
(reaction of, with lithium naphthalide and benzophenone)
RN 5912-20-9 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 6-chloro-2,3-dihydro-4-methyl-1-phenyl- (6CI; 7CI, 8CI, 9CI) (CA INDEX NAME)



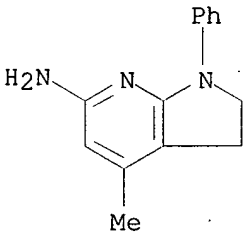
L32 ANSWER 9 OF 20 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1978:7279 CAPLUS
DOCUMENT NUMBER: 88:7279
TITLE: Synthesis of 2,3-dioxo-2,3-dihydro-4-methyl-6-chloro-1H-pyrrolo[2,3-b]pyridine and its 1-.alpha.-L-arabinopyranoside
AUTHOR(S): Ektova, L. V.; Miniker, T. D.; Yartseva, I. V.; Preobrazhenskaya, M. N.
CORPORATE SOURCE: Onkol. Nauchn. Tsentr., Moscow, USSR
SOURCE: Khim. Geterotsikl. Soedin. (1977), (8), 1083-6
CODEN: KGSSAQ
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI

L32 ANSWER 10 OF 20 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1976:10443 CAPLUS
DOCUMENT NUMBER: 84:10443
TITLE: Azaindole derivatives. XLIX. Mechanism of the polarographic oxidation of azaindolines
AUTHOR(S): Palant, I. N.; Krasnokutskaya, D. M.; Turchin, K. F.; Anisimova, O. S.; Vainshtein, Yu. I.; Yakhontov, L. N.
CORPORATE SOURCE: Vses. Nauchno-Issled. Khim.-Farm. Inst. im. Ordzhonikidze, Moscow, USSR
SOURCE: Khim. Geterotsikl. Soedin. (1975), (9), 1277-83
CODEN: KGSSAQ
DOCUMENT TYPE: Journal
LANGUAGE: Russian

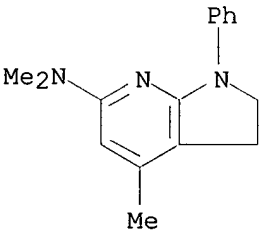
AB A mechanism is proposed for the polarog. oxidn. of azaindolines, including the electrochem. and chem. stages. The preparative electrolysis was accomplished of 1-phenyl-4-methyl-6-morpholino-7-azaindoline [27803-36-7], leading to the formation of the corresponding 7-azaindole [27803-39-0] and (7-azaindolinyl-5)-7-azaindoline [57266-68-9].

IT **14069-81-9 34600-82-3 34609-82-0**
RL: RCT (Reactant)
(oxidn. of, polarog.)

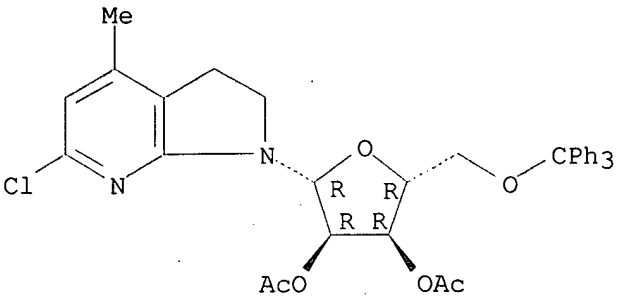
RN 14069-81-9 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridin-6-amine, 2,3-dihydro-4-methyl-1-phenyl- (9CI) (CA INDEX NAME)



RN 34600-82-3 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridin-6-amine, 2,3-dihydro-N,N,4-trimethyl-1-phenyl- (9CI) (CA INDEX NAME)

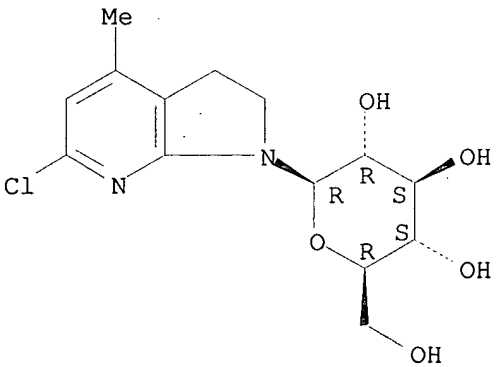


RN 34609-82-0 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridin-6-amine, N-butyl-2,3-dihydro-4-methyl-1-phenyl- (9CI) (CA INDEX NAME)



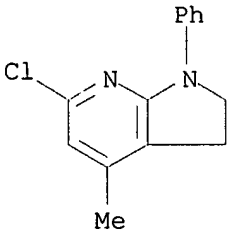
L32 ANSWER 12 OF 20 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1974:425898 CAPLUS
DOCUMENT NUMBER: 81:25898
TITLE: 1-.beta.-D-Glucopyranosides of pyrrolo[2,3-b]pyridines (7-azaindoles)
AUTHOR(S): Preobrazhenskaya, M. N.; Miniker, T. D.; Martynov, V. S.; Yakhontov, L. N.; Kostyuchenko, N. P.; Krasnokutskaya, D. M.
CORPORATE SOURCE: Inst. Eksp. Klin. Onkol., Moscow, USSR
SOURCE: Zh. Org. Khim. (1974), 10(4), 745-50
CODEN: ZORKAE
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI For diagram(s), see printed CA Issue.
AB 7-Azaindole glucosides (I; R = H, R1 = H, Cl) were obtained in .apprx.70% yield by condensation of the appropriate azaindole with D-glucose in abs. EtOH contg. (NH4)2SO4. Acetylation of I with Ac2O gave 45-68% I; (R = Ac) which were dehydrogenated by dicyanodichlorobenzoquinone to give 50-60% of the corresponding II. Deacetylation with NaOMe gave .apprx.80% II (R = H).
IT **53382-94-8P 53383-12-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 53382-94-8 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 6-chloro-1-.beta.-D-glucopyranosyl-2,3-dihydro-4-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

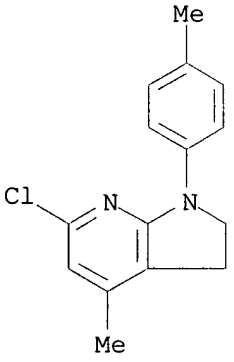


RN 53383-12-3 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 6-chloro-2,3-dihydro-4-methyl-1-(2,3,4,6-tetra-O-acetyl-.beta.-D-glucopyranosyl)- (9CI) (CA INDEX NAME)

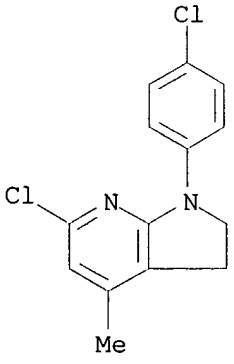
RN 5912-20-9 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 6-chloro-2,3-dihydro-4-methyl-1-phenyl- (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)



RN 53115-58-5 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 6-chloro-2,3-dihydro-4-methyl-1-(4-methylphenyl)- (9CI) (CA INDEX NAME)

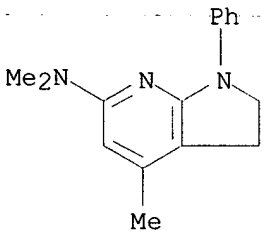


RN 53115-59-6 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 6-chloro-1-(4-chlorophenyl)-2,3-dihydro-4-methyl- (9CI) (CA INDEX NAME)

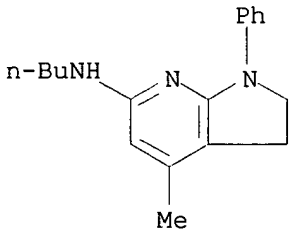


L32 ANSWER 14 OF 20 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1975:30679 CAPLUS
DOCUMENT NUMBER: 82:30679
TITLE: Polarographic oxidation of 6-amino-7-azaindoline derivatives
AUTHOR(S): Palunt, I. N.; Vainshtein, Yu. I.; Yakhontov, L. N.;

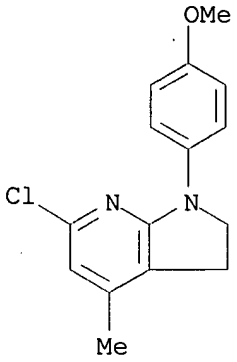
ACCESSION NUMBER: 1972:25132 CAPLUS
DOCUMENT NUMBER: 76:25132
TITLE: Azaindole derivatives. XXXIX. Reactions of 6-chloro-7-azaindolines with amines
AUTHOR(S): Yakhontov, L. N.; Krasnokutskaya, D. M.; Akalaev, A. N.; Palant, I. N.; Vainshtein, Yu. I.
CORPORATE SOURCE: Vses. Nauchno-Issled. Khim.-Farm. Inst. im. Ordzhonikidze, Moscow, USSR
SOURCE: Khim. Geterotsikl. Soedin. (1971), 7(6), 789-94
CODEN: KGSSAQ
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI For diagram(s), see printed CA Issue.
AB Investigation of the reaction of the title compds. (I) (X = Cl) with primary and secondary aliphatic, alkyl aromatic, and aromatic amines indicate that in addn. to the normal nucleophilic substitution with formation of I (X = NRR1) there are some redox processes with formation of the dehalogenation and oxidn. products I (X = H) and II (X = NRR1), resp. The following I (X = NRR1) and II (X = NRR1) were prepd.: (RR1 =)(CH2)4; (RR1 =)(CH2)5; (R = R1 =)O(CH2CH2)2; (RR1 =) = MeN(CH2CH2)2; R = R1 = Me; R = R1 = Bu; R = Bu, R1 = H; R = Ph, R1 = Et; and R = R1 = CH2Ph. With secondary cyclic amines, the yield of the nucleophilic substitution products depends on the basicity of the amine only, whereas in the case of primary and secondary aliphatic and aromatic amines it is affected by steric hindrances. Polarog. investigations show all I (X = NRR1) have approx. the same oxidizability to II (half-wave potentials E1/2 = 0.29-0.43 V), greater than that of I (X = Cl) (E1/2 = 0.91 V. This indicates that E1/2 does not det. the course of the reaction.
IT **34600-82-3P 34609-82-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 34600-82-3 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridin-6-amine, 2,3-dihydro-N,N,4-trimethyl-1-phenyl- (9CI) (CA INDEX NAME)



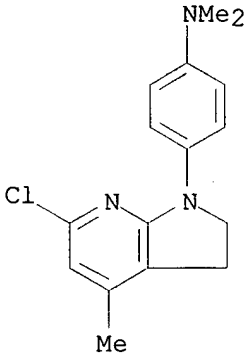
RN 34609-82-0 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridin-6-amine, N-butyl-2,3-dihydro-4-methyl-1-phenyl- (9CI) (CA INDEX NAME)



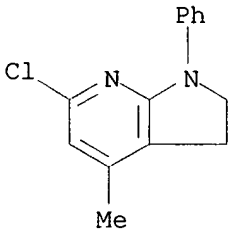
IT **5912-20-9**
RL: RCT (Reactant)



RN 5792-02-9 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 6-chloro-1-[p-(dimethylamino)phenyl]-2,3-dihydro-4-methyl- (7CI, 8CI) (CA INDEX NAME)

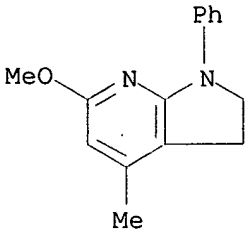


RN 5912-20-9 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 6-chloro-2,3-dihydro-4-methyl-1-phenyl- (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)

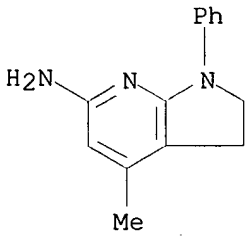


RN 14069-77-3 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 2,3-dihydro-6-methoxy-4-methyl-1-phenyl- (8CI) (CA INDEX NAME)

RN 14069-77-3 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 2,3-dihydro-6-methoxy-4-methyl-1-phenyl- (8CI) (CA INDEX NAME)



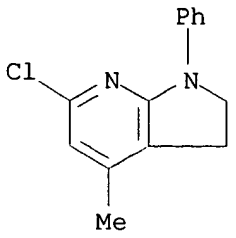
RN 14069-81-9 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridin-6-amine, 2,3-dihydro-4-methyl-1-phenyl- (9CI) (CA INDEX NAME)



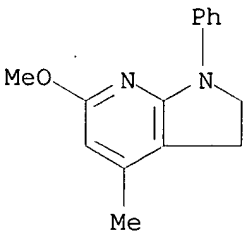
L32 ANSWER 18 OF 20 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1968:8768 CAPLUS
DOCUMENT NUMBER: 68:8768
TITLE: Oxidation of 7-azaindoline derivatives on a platinum rotating disk electrode
AUTHOR(S): Vainshtein, Yu. I.; Palant, I. N.; Yakhontov, L. N.; Krasnokutskaya, D. M.; Rubtsov, M. V.
SOURCE: Tr., Vses. Nauchno-Issled. Inst. Khim. Reakt. Osobo Chist. Khim. Veshchestv (1967), No. 30, 329-32
CODEN: TKRKAM
DOCUMENT TYPE: Journal
LANGUAGE: Russian

AB A polarographic study was made at 25.degree. of the oxidn. of six 4-methyl-7-azaindoline derivs. (5 .times. 10-4M) at a 3.2-mm.2 Pt rotating-disk electrode in a Britton-Robinson buffer soln. contg. 50% HCONMe2; ionic strength of the soln. was 1. The sweep rate of the potential was 66 mv./min. The 6 derivs. were: 1-phenyl- (I), m. 102-3.degree.; 1-(p-methoxyphenyl)- (II), m. 146-7.degree.; 1-phenyl-6-methoxy-(III), m. 81-2.degree.; 1-phenyl-6-chloro- (IV), m. 116.5-17.degree.; 6-chloro- (V), m. 130.5-1.5.degree.; and 6-methoxy-4-methyl-7-azaindoline (VI), m. 136.degree.. The limiting current for each compd. obeyed the Levich equation for a rotating-disk electrode, thus confirming the diffusional nature of the electrode process. The half-wave potential (E1/2) for each compd. was I 0.88, II 0.67, III 0.62, IV 0.90, V 0.81, and VI 0.60 v. vs. the S. C. E. The electrochem. activity was related to the chem. stability; a compd. with a more pos. value of E1/2 corresponded to a more stable compd. toward oxidn. by chloranil.

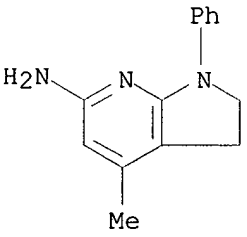
IT **5912-20-9 14069-77-3**
RL: PROC (Process)
(polarography of)
RN 5912-20-9 CAPLUS



IT **14069-77-3**
RL: PRP (Properties)
(spectrum (uv) of)
RN 14069-77-3 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 2,3-dihydro-6-methoxy-4-methyl-1-phenyl- (8CI)
(CA INDEX NAME)



IT **14069-81-9**
RL: PEP (Physical, engineering or chemical process); PRP (Properties); PROC (Process)
(tautomerism of, spectrum (uv) and)
RN 14069-81-9 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridin-6-amine, 2,3-dihydro-4-methyl-1-phenyl- (9CI)
(CA INDEX NAME)



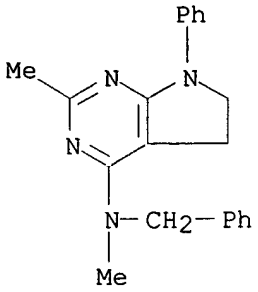
L32 ANSWER 20 OF 20 USPATFULL

ACCESSION NUMBER:	94:60161 USPATFULL
TITLE:	Sino-atrial node modulating pyrrolopyrimidines
INVENTOR(S):	Hargreaves, Rodney B., Poynton, England
PATENT ASSIGNEE(S):	Imperial Chemical Industries PLC, London, England (non-U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5328910		19940712	
APPLICATION INFO.:	US 1991-777982		19911017	(7)

	NUMBER	DATE

Searched by Barb O'Bryen, STIC 308-4291



FILE 'CAOLD' ENTERED AT 14:03:04 ON 25 APR 2002
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                  OR C3N2/EAS) AND NR>2
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L28             3 SEA FILE=CAOLD ABB=ON  L19
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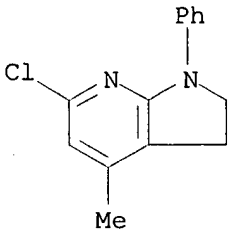
=> d iall hitstr l28 1-3; fil hom

L28 ANSWER 1 OF 3 CAOLD COPYRIGHT 2002 ACS
ACCESSION NUMBER: CA64:19582f CAOLD
TITLE: derivs. of 7-azaindole - (XIII) synthesis of 1-phenyl-4-methyl-7-azaindoles substituted in the phenyl ring
AUTHOR NAME: Yakhontov, L. N.; Krasnokutskaya, D. M.; Rubtsov, M. V.
INDEX TERM: 5791-68-4 5791-69-5 **5792-01-8**
5792-02-9 5792-03-0 5792-04-1 5792-05-2
5792-06-3 5792-07-4 5792-08-5 5792-09-6 5792-10-9
5792-11-0 5792-12-1 5792-13-2 7466-38-8 95771-22-5
IT **5792-01-8** **5792-02-9**
RN 5792-01-8 CAOLD
CN 1H-Pyrrolo[2,3-b]pyridine, 6-chloro-2,3-dihydro-1-(4-methoxyphenyl)-4-methyl- (9CI) (CA INDEX NAME)

ACCESSION NUMBER: CA55:18720h CAOLD
TITLE: derivs. of 7-azaindole - (I) type of closure of pyrroline ring in the reaction of trichlorocollidine with secondary amines
AUTHOR NAME: Yakhontov, L. N.; Rubtsov, M. V.
INDEX TERM: 5268-29-1 **5912-20-9** 7085-03-2 14069-73-9 16498-02-5 34600-84-5 59289-30-4 89491-15-6 99187-60-7 100614-25-3 101264-01-1 101427-63-8 101889-21-8 101893-18-9 102002-16-4 110332-97-3 114741-44-5

IT **5912-20-9**
RN 5912-20-9 CAOLD
CN 1H-Pyrrolo[2,3-b]pyridine, 6-chloro-2,3-dihydro-4-methyl-1-phenyl- (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)



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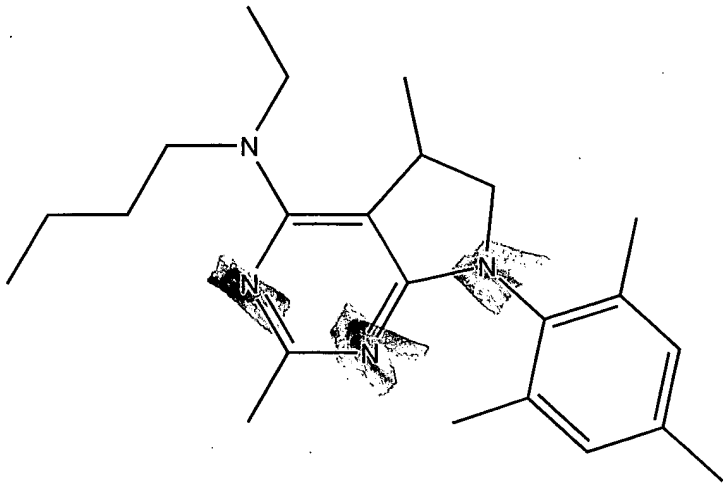

butyl-[2,5-dimethyl-7-(2,4,6-trimethylphenyl)-6,7-dihydro-5h-pyrrolo[2,3-d]pyrimidin-4-yl]-ethylamine